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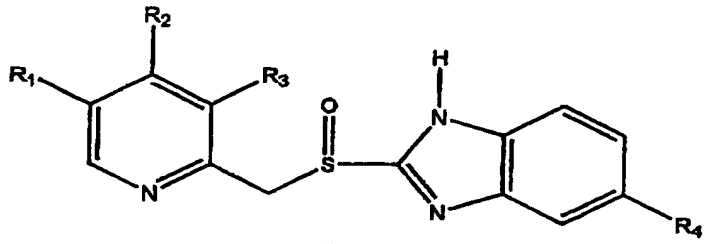
WO 2004/063188 PCT/GB2004/000064

Rec'd PTO 15 JUL 2005

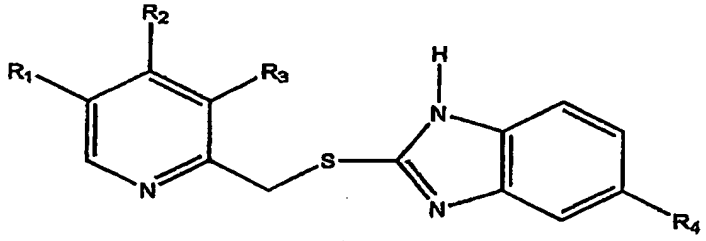
CLAIMS

1. A process for preparing a sulfinyl compound of formula (I), or a pharmaceutically acceptable salt, hydrate or solvate thereof,



(I)

which process comprises oxidation of a sulfide compound of formula (II)



(II)

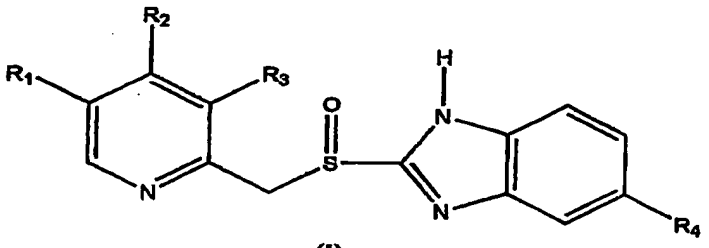
wherein in both formulae (I) and (II) R_1 and R_3 are selected from the group consisting of hydrogen, methyl or C_{1-4}alkoxy, R_2 is selected from the group consisting of substituted or unsubstituted C_{1-4}alkoxy and R_4 is selected from the group consisting of hydrogen or substituted or unsubstituted C_{1-4}alkoxy;

wherein a compound of formula (II) is added to a solvent, or a mixture of solvents, to form a reaction mixture, an oxidizing agent is added to said reaction mixture and said oxidation is carried out at a controlled temperature and pH so as to prepare a compound of formula (I), and optionally converting a sulfinyl compound of formula (I) to a pharmaceutically acceptable salt, hydrate or solvate thereof;

characterised in that an alkali is present in the reaction mixture at least during said oxidation, whereby the pH of the reaction mixture at least during said oxidation is in the range of 9 to 12.

2. A process according to claim 1, wherein said oxidizing agent comprises an aqueous hypohalite solution.

3. A process for preparing a sulfinyl compound of formula (I), or a pharmaceutically acceptable salt, hydrate or solvate thereof,



(I)

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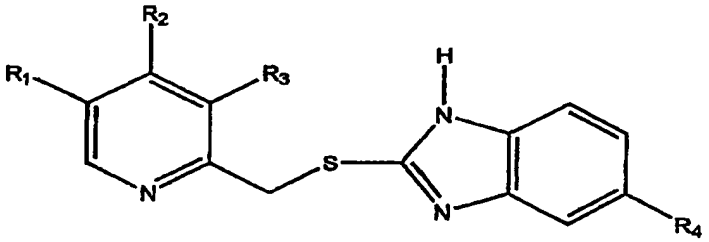


(II)

wherein in both formulae (I) and (II) R_1 and R_3 are selected from the group consisting of hydrogen, methyl or C_{1-4}alkoxy, R_2 is selected from the group consisting of substituted or unsubstituted C_{1-4}alkoxy and R_4 is selected from the group consisting of hydrogen or substituted or unsubstituted C_{1-4}alkoxy;

characterised in that said compound of formula (II) is reacted with an oxidizing agent comprising an aqueous hypohalite solution, and optionally converting a sulfinyl compound of formula (I) to a pharmaceutically acceptable salt, hydrate or solvate thereof.

4. A process according to claim 2 or 3, wherein a compound of formula (II) is reacted with an aqueous hypohalite solution optionally in the presence of a catalyst selected from the group consisting of pyridine, di-isopropyl ethyl amine and N,N-dimethyl amino pyridine.

5. A process according to any of claims 1 to 4, which comprises or further comprises dissolving or suspending a compound of formula (II) in a solvent selected from the group consisting of water, lower alkyl alcohols, esters, ethers and chlorinated solvents, or a mixture of two or more of these solvents.

6. A process according to claim 5, wherein said solvent is selected from the group consisting of water, methanol, ethanol, isopropanol, di-isopropyl ether, dichloromethane, acetonitrile and ethyl acetate, or a mixture of two or more of these solvents.

7. A process according to any of claims 1 to 6, which is carried out at a temperature in the range of –30 to 50°C.

8. A process according to claim 7, which is carried out at a temperature in the range of 0 to 30°C.

9. A process according to any of claims 2 to 8, wherein said aqueous hypohalite solution comprises an aqueous solution of an alkali metal or alkali earth metal hypohalite.

10. A process according to claim 9, wherein said alkali metal or alkali earth metal hypohalite is selected from the group consisting of sodium hypochlorite, sodium hypobromite and calcium hypochlorite.

11. A process according to claim 10, wherein said aqueous hypohalite solution comprises sodium hypochlorite.

12. A process according to any of claims 2 to 11, wherein said aqueous hypohalite solution has a concentration in the range of 2% to 30%.

13. A process according to claim 12, wherein said aqueous hypohalite solution has a concentration in the range of 2% to 5%.

14. A process according to any of claims 2 to 13, wherein said aqueous hypohalite solution includes about 0.5 % to 5 % of free corresponding alkali or alkali earth metal hydroxide, whereby a pH in the range of 9 to 12 is obtained for a reaction mixture comprising a compound of formula (II), and a solvent, or a mixture of solvents, at least during said oxidation.

15. A process according to any of claims 1 to 13, wherein an alkali is added to a reaction mixture comprising a compound of formula (II), and a solvent, or a mixture of solvents, prior to addition of said oxidizing agent to the reaction mixture, whereby a pH in the range of 9 to 12 is obtained for said reaction mixture at least during said oxidation.

16. A process according to any of claims 1 to 15, wherein in formula (I) R_1 represents methyl, R_2 represents trifluoroethoxy, R_3 represents hydrogen and R_4 represents hydrogen.

17. A process according to any of claims 1 to 15, wherein in formula (I) R_1 represents methyl, R_2 represents methoxy, R_3 represents methyl and R_4 represents methoxy.

18. A process according to any of claims 1 to 15, wherein in formula (I) R_1 represents methoxy, R_2 represents methoxy, R_3 represents hydrogen and R_4 represents difluoromethoxy.

19. A process according to any of claims 1 to 15, wherein in formula (I) R_1 represents methyl, R_2 represents $OCH_2CH_2CH_2OMe$, R_3 represents hydrogen and R_4 represents hydrogen.

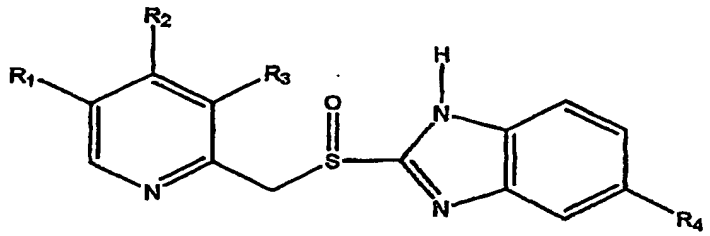
20. Lansoprazole prepared according to claim 16, substantially free of oxidation contamination by products.

21. Omeprazole prepared according to claim 17, substantially free of oxidation contamination by products.

22. Pantoprazole prepared according to claim 18, substantially free of oxidation contamination by products.

23. Rabeprazole prepared according to claim 19, substantially free of oxidation contamination by products.

24. A pharmaceutical composition comprising a sulfinyl compound of formula (I)



(I)

wherein R_1 and R_3 are selected from the group consisting of hydrogen, methyl or C_{1-4}alkoxy, R_2 is selected from the group consisting of substituted or unsubstituted C_{1-4}alkoxy and R_4 is selected from the group consisting of hydrogen or substituted or unsubstituted C_{1-4}alkoxy;

prepared according to any of claims 1 to 19, together with a pharmaceutically acceptable carrier or excipient therefor.

25. A pharmaceutical composition comprising lansoprazole according to claim 20, together with a pharmaceutically acceptable carrier or excipient therefor.

26. A pharmaceutical composition comprising omeprazole according to claim 21, together with a pharmaceutically acceptable carrier or excipient therefor.

27. A pharmaceutical composition comprising pantoprazole according to claim 22, together with a pharmaceutically acceptable carrier or excipient therefor.

28. A pharmaceutical composition comprising rabeprazole according to claim 23, together with a pharmaceutically acceptable carrier or excipient therefor.

29. For use in therapy, lansoprazole according to claim 20.

30. For use in therapy, omeprazole according to claim 21.

31. For use in therapy, pantoprazole according to claim 22.

32. For use in therapy, rabeprazole according to claim 23.

33. For use in the manufacture of a medicament for the treatment of gastric ulcers and related conditions, lansoprazole according to claim 20.

34. For use in the manufacture of a medicament for the treatment of gastric ulcers and related conditions, omeprazole according to claim 21.

35. For use in the manufacture of a medicament for the treatment of gastric ulcers and related conditions, pantoprazole according to claim 22.

36. For use in the manufacture of a medicament for the treatment of gastric ulcers and related conditions, rabeprazole according to claim 23.

37. A method of treating gastric ulcers and related conditions, which comprises administering to a patient in need of such treatment lansoprazole according to claim 20.

38. A method of treating gastric ulcers and related conditions, which comprises administering to a patient in need of such treatment omeprazole according to claim 21.

39. A method of treating gastric ulcers and related conditions, which comprises administering to a patient in need of such treatment pantoprazole according to claim 22.

40. A method of treating gastric ulcers and related conditions, which comprises administering to a patient in need of such treatment rabeprazole according to claim 23.